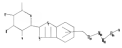
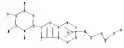
```
Connecting via Winsock to STN



Welcome to STN International!  Enter x:x

LOGINID:ssptajsl1623

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1          Web Page for STN Seminar Schedule - N. America
 NEWS  2  AUG 15  CAOLD to be discontinued on December 31, 2008
 NEWS  3  OCT 07  EPFULL enhanced with full implementation of EPC2000
 NEWS  4  OCT 07  Multiple databases enhanced for more flexible patent
                  number searching
 NEWS  5  OCT 22  Current-awareness alert (SDI) setup and editing
                  enhanced
 NEWS  6  OCT 22  WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT
                  Applications
 NEWS  7  OCT 24  CHEMLIST enhanced with intermediate list of
                  pre-registered REACH substances
 NEWS  8  NOV 21  CAS patent coverage to include exemplified prophetic
                  substances identified in English-, French-, German-,
                  and Japanese-language basic patents from 2004-present
 NEWS  9  NOV 26  MARPAT enhanced with FSORT command
 NEWS 10  NOV 26  MEDLINE year-end processing temporarily halts
                  availability of new fully-indexed citations
 NEWS 11  NOV 26  CHEMSAFE now available on STN Easy
 NEWS 12  NOV 26  Two new SET commands increase convenience of STN
                  searching
 NEWS 13  DEC 01  ChemPort single article sales feature unavailable
 NEWS 14  DEC 12  GBFULL now offers single source for full-text
                  coverage of complete UK patent families
 NEWS 15  DEC 17  Fifty-one pharmaceutical ingredients added to PS

 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.

  All use of STN is subject to the provisions of the STN Customer
  agreement.  Please note that this agreement limits use to scientific
  research.  Use for software development or design or implementation
  of commercial gateways or other similar uses is prohibited and may
  result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *
```

```
FILE 'HOME' ENTERED AT 10:20:10 ON 17 DEC 2008

=>  reg\b
REG\B IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> b reg
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                   0.21       0.21

FILE 'REGISTRY' ENTERED AT 10:20:26 ON 17 DEC 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   16 DEC 2008  HIGHEST RN 1085590-90-4
DICTIONARY FILE UPDATES:  16 DEC 2008  HIGHEST RN 1085590-90-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end


=> screen 1947 AND 2007

L1    SCREEN CREATED

=>
Uploading C:\Documents and Settings\jlau1\My Documents\10591403 - benzothiphene
glycoside\2nd action\genus.str
```

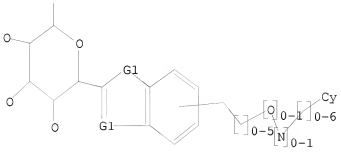




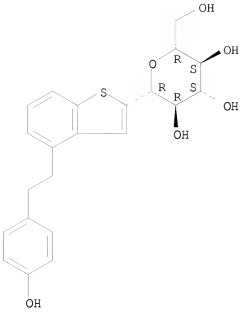
```
chain nodes :
16  17  18  19  20  21  22  23  24  25
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12  13  14  15
chain bonds :
2-15  10-19  11-18  12-17  13-16  20-21  21-22  22-23  23-24  24-25
ring bonds :
1-2  1-5  2-3  3-4  4-5  4-6  5-9  6-7  7-8  8-9  10-11  10-15  11-12  12-13  13-14
 14-15
exact/norm bonds :
1-2  1-5  2-3  2-15  3-4  10-11  10-15  10-19  11-12  11-18  12-13  12-17  13-14
13-16  14-15  20-21  21-22  22-23  23-24  24-25
normalized bonds :
4-5  4-6  5-9  6-7  7-8  8-9


G1:C,O,S,N

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:CLASS  17:CLASS  18:CLASS  19:CLASS
 20:CLASS  21:CLASS  22:CLASS  23:CLASS  24:CLASS  25:Atom  34:Atom
Generic attributes :
25:
Saturation              : Unsaturated
```

L2 STRUCTURE UPLOADED

```
=> que L2 AND L1

L3   QUE L2 AND L1

=> d l2
L2 HAS NO ANSWERS
L2              STR
```



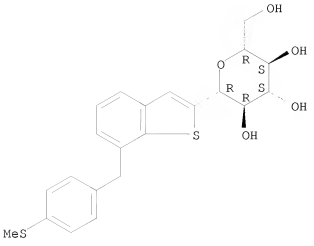

```
G1 C,O,S,N


Structure attributes must be viewed using STN Express query preparation.


=> s l3 sam sss
SAMPLE SEARCH INITIATED 10:20:59 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      490 TO ITERATE

100.0% PROCESSED      490 ITERATIONS                                 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         8472 TO    11128
PROJECTED ANSWERS:               1 TO       80

L4            1 SEA SSS SAM L2 AND L1

=> d l4 scan

L4   1 ANSWERS   REGISTRY  COPYRIGHT 2008 ACS on STN
IN   D-Glucitol, 1,5-anhydro-1-C-[4-[2-(4-hydroxyphenyl)ethyl]benzo[b]thien-2-
     yl]-, (1R)-
MF   C22 H24 O6 S

Absolute stereochemistry.
```



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

```
=> s l3 full sss
FULL SEARCH INITIATED 10:21:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     9998 TO ITERATE

100.0% PROCESSED     9998 ITERATIONS                                19 ANSWERS
SEARCH TIME: 00.00.01

L5           19 SEA SSS FUL L2 AND L1

=> d l5 scan

L5   19 ANSWERS   REGISTRY  COPYRIGHT 2008 ACS on STN
IN   D-Glucitol, 1,5-anhydro-1-C-[7-[[4-(methylthio)phenyl]methyl]benzo[b]thien-
     2-yl]-, (1R)-
MF   C22 H24 O5 S2

Absolute stereochemistry.
```

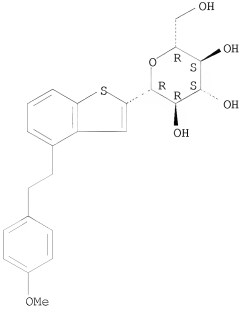


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L5 19 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN D-Glucitol, 1,5-anhydro-1-C-[4-[2-(4-methoxyphenyl)ethyl]benzo[b]thien-2-yl]-, (1R)-
MF C23 H26 O6 S

Absolute stereochemistry.

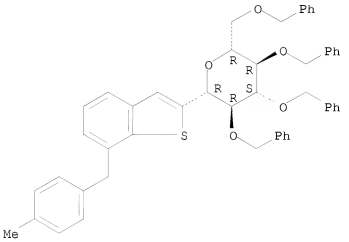


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L5 19 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN D-Glucitol, 1,5-anhydro-1-C-[7-[(4-methylphenyl)methyl]benzo[b]thien-2-yl]-
    2,3,4,6-tetrakis-O-(phenylmethyl)-, (1R)-
MF C50 H48 O5 S

Absolute stereochemistry.



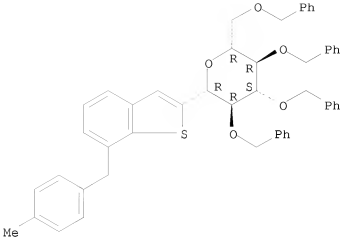
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> d l5 1-19

L5 ANSWER 1 OF 19 REGISTRY COPYRIGHT 2008 ACS on STN
RN 864684-62-8 REGISTRY
ED Entered STN: 07 Oct 2005
CN D-Glucitol, 1,5-anhydro-1-C-[7-[(4-methylphenyl)methyl]benzo[b]thien-2-yl]-
    2,3,4,6-tetrakis-O-(phenylmethyl)-, (1R)- (CA INDEX NAME)
FS STEREOSEARCH
MF C50 H48 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

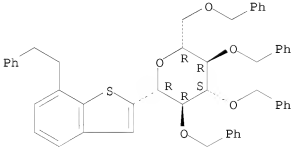


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 2 OF 19 REGISTRY COPYRIGHT 2008 ACS on STN
RN 864684-58-2 REGISTRY
ED Entered STN: 07 Oct 2005
CN D-Glucitol, 1,5-anhydro-1-C-[7-(2-phenylethyl)benzo[b]thien-2-yl]-2,3,4,6-tetrakis-O-(phenylmethyl)-, (1R)- (CA INDEX NAME)
FS STEREOSEARCH
MF C50 H48 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



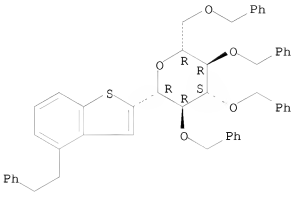
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 3 OF 19 REGISTRY COPYRIGHT 2008 ACS on STN
RN 864684-56-0 REGISTRY
ED Entered STN: 07 Oct 2005
CN D-Glucitol, 1,5-anhydro-1-C-[4-(2-phenylethyl)benzo[b]thien-2-yl]-2,3,4,6-

tetrakis-O-(phenylmethyl)-, (1R)- (CA INDEX NAME)
FS STEREOSEARCH
MF C50 H48 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

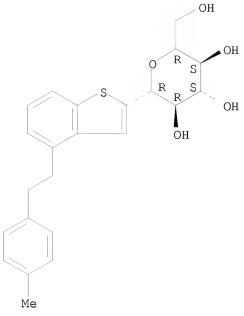


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 4 OF 19 REGISTRY COPYRIGHT 2008 ACS on STN
RN 864684-50-4 REGISTRY
ED Entered STN: 07 Oct 2005
CN D-Glucitol, 1,5-anhydro-1-C-[4-[2-(4-methylphenyl)ethyl]benzo[b]thien-2-yl]-, (1R)- (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H26 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

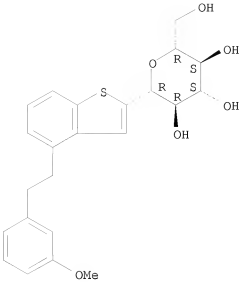


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 5 OF 19 REGISTRY COPYRIGHT 2008 ACS on STN
RN 864684-49-1 REGISTRY
ED Entered STN: 07 Oct 2005
CN D-Glucitol, 1,5-anhydro-1-C-[4-[2-(3-methoxyphenyl)ethyl]benzo[b]thien-2-yl]-, (1R)- (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H26 O6 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

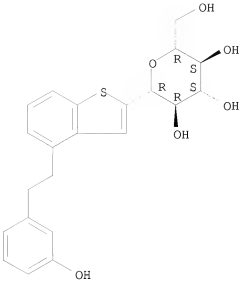


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 6 OF 19 REGISTRY COPYRIGHT 2008 ACS on STN
RN 864684-48-0 REGISTRY
ED Entered STN: 07 Oct 2005
CN D-Glucitol, 1,5-anhydro-1-C-[4-[2-(3-hydroxyphenyl)ethyl]benzo[b]thien-2-yl]-, (1R)- (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H24 O6 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

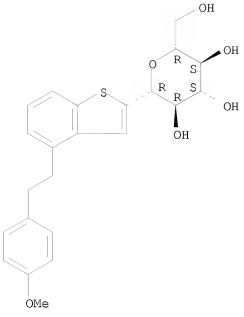


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 7 OF 19 REGISTRY COPYRIGHT 2008 ACS on STN
RN 864684-47-9 REGISTRY
ED Entered STN: 07 Oct 2005
CN D-Glucitol, 1,5-anhydro-1-C-[4-[2-(4-methoxyphenyl)ethyl]benzo[b]thien-2-yl]-, (1R)- (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H26 O6 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

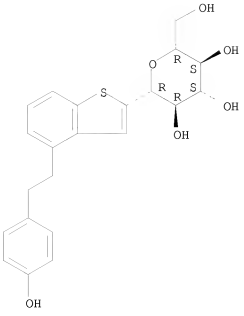


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 8 OF 19 REGISTRY COPYRIGHT 2008 ACS on STN
RN 864684-46-8 REGISTRY
ED Entered STN: 07 Oct 2005
CN D-Glucitol, 1,5-anhydro-1-C-[4-[2-(4-hydroxyphenyl)ethyl]benzo[b]thien-2-yl]-, (1R)- (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H24 O6 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

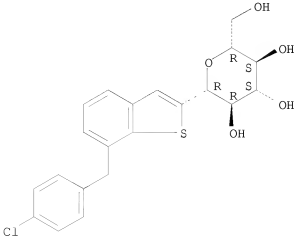


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 9 OF 19 REGISTRY COPYRIGHT 2008 ACS on STN
RN 864684-45-7 REGISTRY
ED Entered STN: 07 Oct 2005
CN D-Glucitol, 1,5-anhydro-1-C-[7-[(4-chlorophenyl)methyl]benzo[b]thien-2-yl]-, (1R)- (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H21 Cl O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

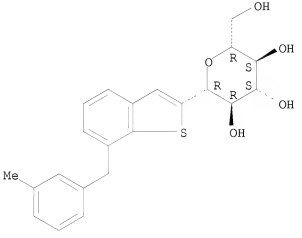


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 10 OF 19 REGISTRY COPYRIGHT 2008 ACS on STN
RN 864684-44-6 REGISTRY
ED Entered STN: 07 Oct 2005
CN D-Glucitol, 1,5-anhydro-1-C-[7-[(3-methylphenyl)methyl]benzo[b]thien-2-yl]-, (1R)- (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H24 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

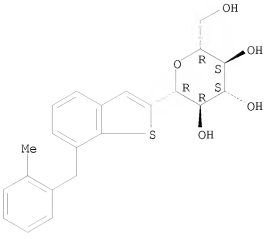


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 11 OF 19 REGISTRY COPYRIGHT 2008 ACS on STN
RN 864684-43-5 REGISTRY
ED Entered STN: 07 Oct 2005
CN D-Glucitol, 1,5-anhydro-1-C-[7-[(2-methylphenyl)methyl]benzo[b]thien-2-yl]-, (1R)- (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H24 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

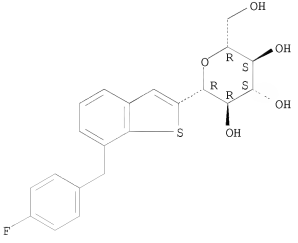


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 12 OF 19 REGISTRY COPYRIGHT 2008 ACS on STN
RN 864684-42-4 REGISTRY
ED Entered STN: 07 Oct 2005
CN D-Glucitol, 1,5-anhydro-1-C-[7-[(4-fluorophenyl)methyl]benzo[b]thien-2-yl]-, (1R)- (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H21 F O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

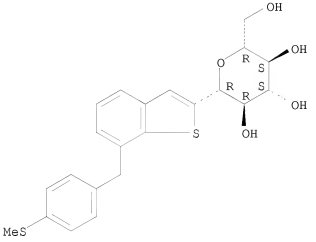


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 13 OF 19 REGISTRY COPYRIGHT 2008 ACS on STN
RN 864684-41-3 REGISTRY
ED Entered STN: 07 Oct 2005
CN D-Glucitol, 1,5-anhydro-1-C-[7-[[4-(methylthio)phenyl]methyl]benzo[b]thien-2-yl]-, (1R)- (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H24 O5 S2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

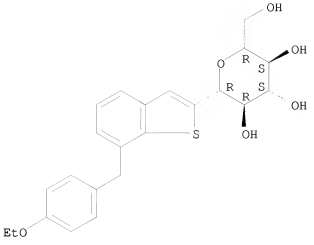


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 14 OF 19 REGISTRY COPYRIGHT 2008 ACS on STN
RN 864684-40-2 REGISTRY
ED Entered STN: 07 Oct 2005
CN D-Glucitol, 1,5-anhydro-1-C-[7-[(4-ethoxyphenyl)methyl]benzo[b]thien-2-yl]-, (1R)- (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H26 O6 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

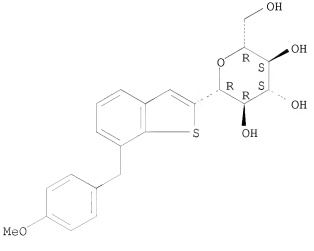


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 15 OF 19 REGISTRY COPYRIGHT 2008 ACS on STN
RN 864684-39-9 REGISTRY
ED Entered STN: 07 Oct 2005
CN D-Glucitol, 1,5-anhydro-1-C-[7-[(4-methoxyphenyl)methyl]benzo[b]thien-2-yl]-, (1R)- (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H24 O6 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



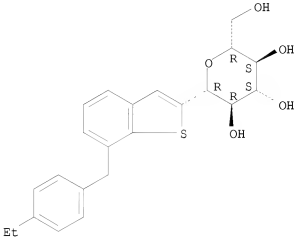
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 16 OF 19 REGISTRY COPYRIGHT 2008 ACS on STN
RN 864684-38-8 REGISTRY
ED Entered STN: 07 Oct 2005
CN D-Glucitol, 1,5-anhydro-1-C-[7-[(4-ethylphenyl)methyl]benzo[b]thien-2-yl]-, (1R)- (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H26 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

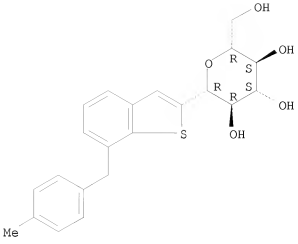


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 17 OF 19 REGISTRY COPYRIGHT 2008 ACS on STN
RN 864684-37-7 REGISTRY
ED Entered STN: 07 Oct 2005
CN D-Glucitol, 1,5-anhydro-1-C-[7-[(4-methylphenyl)methyl]benzo[b]thien-2-yl]-, (1R)- (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H24 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

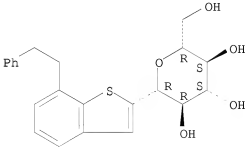


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 18 OF 19 REGISTRY COPYRIGHT 2008 ACS on STN
RN 864684-36-6 REGISTRY
ED Entered STN: 07 Oct 2005
CN D-Glucitol, 1,5-anhydro-1-C-[7-(2-phenylethyl)benzo[b]thien-2-yl]-, (1R)-
(CA INDEX NAME)
FS STEREOSEARCH
MF C22 H24 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



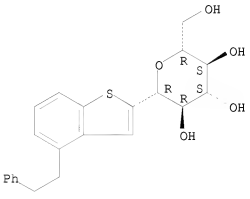
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 19 OF 19 REGISTRY COPYRIGHT 2008 ACS on STN
RN 864684-35-5 REGISTRY
ED Entered STN: 07 Oct 2005
CN D-Glucitol, 1,5-anhydro-1-C-[4-(2-phenylethyl)benzo[b]thien-2-yl]-, (1R)-

(CA INDEX NAME)
FS STEREOSEARCH
MF C22 H24 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> b caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	216.82	217.03

FILE 'CAPLUS' ENTERED AT 10:21:47 ON 17 DEC 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 17 Dec 2008 VOL 149 ISS 25
FILE LAST UPDATED: 16 Dec 2008 (20081216/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s l5
L6 1 L5

=> d l6 ibib abs

L6 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1004759 CAPLUS
DOCUMENT NUMBER: 143:306496
TITLE: Preparation of glucopyranose compounds containing fused heterocycle moiety as SGLT inhibitors
INVENTOR(S): Fushimi, Nobuhiko; Fujikura, Hideki; Isaji, Masayuki
PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005085265	A1	20050915	WO 2005-JP4152	20050303
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005219777	A1	20050915	AU 2005-219777	20050303
CA 2557320	A1	20050915	CA 2005-2557320	20050303
EP 1724277	A1	20061122	EP 2005-720423	20050303
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1934122	A	20070321	CN 2005-80006211	20050303
MX 2006PA09860	A	20061116	MX 2006-PA9860	20060830
US 20070197449	A1	20070823	US 2006-591403	20060901
IN 2006DN05058	A	20070824	IN 2006-DN5058	20060901
KR 2006132737	A	20061221	KR 2006-719362	20060920
NO 2006004412	A	20061204	NO 2006-4412	20060929
PRIORITY APPLN. INFO.:			JP 2004-61429 A	20040304
			WO 2005-JP4152 W	20050303

OTHER SOURCE(S): MARPAT 143:306496
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [one of R1 and R4 represents II; the other represents H, OH, halo, etc.; R5, R6 = H, OH, halo, etc.; Q = alkylene, alkenylene,

alkynylene, etc.; ring A = aryl, heteroaryl; R2, R3 = H, OH, halo, etc.;, A1 = O, S, NR9; R9 = H, alkyl; A2 = N, CH; G = III, etc.; E1 = H, F, OH; E2 = H, F, Me, etc.] were prepared For example, treatment of 2,3,4,6-tetra-O-benzyl-1-[4-(2-phenylethyl)benzo[b]thiophen-2-yl]-D-glucopyranose, e.g., prepared from 1-bromo-3-fluorobenzene in 6 steps, with triethylsilane in the presence of BF3·OEt2 followed by debenzylation using ethanethiol and BF3·OEt2 gave 2-(β-D-glucopyranosyl)-4-(2-phenylethyl)benzo[b]thiophene (IV). In SGLT1 (sodium dependent glucose transporter-1) inhibition assays, compound IV exhibited the IC50 value of 220 nM. Compds. I are claimed useful for the treatment of diabetes, obesity, etc.
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> b marpat
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 3.39 220.42

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL SESSION
CA SUBSCRIBER PRICE -0.80 -0.80

FILE 'MARPAT' ENTERED AT 10:22:31 ON 17 DEC 2008
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FILE CONTENT: 1961-PRESENT VOL 149 ISS 24 (20081212/ED)

MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20080280867 13 NOV 2008
DE 102008019744 30 OCT 2008
EP 1990054 12 NOV 2008
JP 2008262895 30 OCT 2008
WO 2008136863 13 NOV 2008
GB 2448808 29 OCT 2008
FR 2915685 07 NOV 2008
RU 2337918 10 NOV 2008
CA 2629177 18 OCT 2008

Expanded G-group definition display now available.

The new MARPAT User Guide is now available at:
http://www.cas.org/support/stngen/stndoc/marpat.html.

=> d his

(FILE 'HOME' ENTERED AT 10:20:10 ON 17 DEC 2008)

FILE 'REGISTRY' ENTERED AT 10:20:26 ON 17 DEC 2008
L1 SCREEN 1947 AND 2007
L2 STRUCTURE UPLOADED
L3 QUE L2 AND L1

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L4             1 S L3 SAM SSS
L5            19 S L3 FULL SSS

     FILE 'CAPLUS' ENTERED AT 10:21:47 ON 17 DEC 2008
L6             1 S L5

     FILE 'MARPAT' ENTERED AT 10:22:31 ON 17 DEC 2008

=> s l5 full sss
QUERY CONTAINS NON-SEARCHABLE SCREENS IN L1.
ONLY STRUCTURE L2 WILL BE SEARCHED.
STRUCTURE TOO LARGE - SEARCH ENDED
A structure in your query is too large.  You may delete
attributes or atoms to reduce the size of the structure
and try again.

=> logoff hold
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 0.46     220.88

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                                 0.00      -0.80

 SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 10:23:17 ON 17 DEC 2008

Connecting via Winsock to STN



Welcome to STN International!  Enter x:x

LOGINID:ssptajsl1623

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'MARPAT' AT 10:25:09 ON 17 DEC 2008
FILE 'MARPAT' ENTERED AT 10:25:09 ON 17 DEC 2008
COPYRIGHT (C) 2008 American Chemical Society (ACS)

COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 0.46     220.88

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                 0.00      -0.80

=> b reg
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 0.46     220.88

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                                 0.00      -0.80
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FILE 'REGISTRY' ENTERED AT 10:25:14 ON 17 DEC 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 16 DEC 2008 HIGHEST RN 1085590-90-4
DICTIONARY FILE UPDATES: 16 DEC 2008 HIGHEST RN 1085590-90-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Documents and Settings\jlaul\My Documents\10591403 - benzothiphene
glycoside\2nd action\broader genus.str

chain nodes :
16 17 18 19
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
2-15 10-19 11-18 12-17 13-16
ring bonds :
1-2 1-5 2-3 3-4 4-5 4-6 5-9 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15
exact/norm bonds :
1-2 1-5 2-3 2-15 3-4 10-11 10-15 10-19 11-12 11-18 12-13 12-17 13-14
13-16 14-15
normalized bonds :
4-5 4-6 5-9 6-7 7-8 8-9

G1:C,O,S,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS

L7 STRUCTURE UPLOADED

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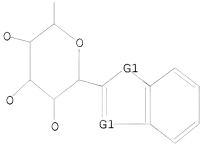
L7 HAS NO ANSWERS
L7 STR



G1 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 10:25:33 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 494 TO ITERATE

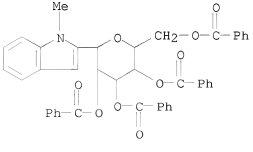
100.0% PROCESSED 494 ITERATIONS 12 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 8547 TO 11213
PROJECTED ANSWERS: 33 TO 447

L8 12 SEA SSS SAM L7

=> d l8 scan

L8 12 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN D-Glucitol, 1,5-anhydro-1-C-(1-methyl-1H-indol-2-yl)-,
2,3,4,6-tetrabenzoate, (1R)- (9CI)
MF C43 H35 N O9

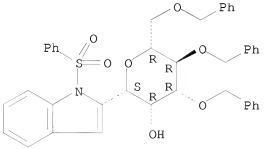


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 12 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 1H-Indole, 1-(phenylsulfonyl)-2-[3,4,6-tris-O-(phenylmethyl)-β-D-mannopyranosyl]- (9CI)
MF C41 H39 N O7 S

Absolute stereochemistry.

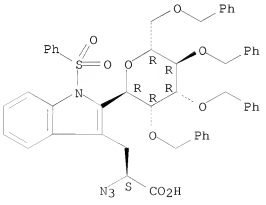


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 12 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 1H-Indole-3-propanoic acid, α-azido-1-(phenylsulfonyl)-2-[2,3,4,6-tetrakis-O-(phenylmethyl)-α-D-mannopyranosyl]-, (αS)-
MF C51 H48 N4 O9 S

Absolute stereochemistry.

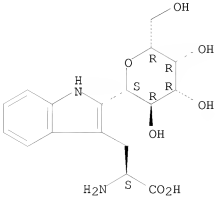


HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 12 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN L-Tryptophan, 2-β-D-galactopyranosyl-
MF C17 H22 N2 O7

Absolute stereochemistry. Rotation (+).

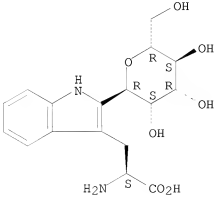


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 12 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN L-Tryptophan, 2-α-D-mannopyranosyl-, monohydrochloride (9CI)
MF C17 H22 N2 O7 . Cl H

Absolute stereochemistry. Rotation (+).



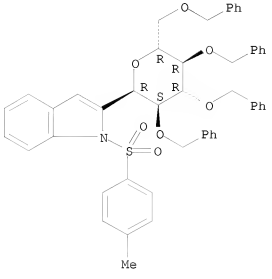
● HCl

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 12 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-2-[2,3,4,6-tetrakis-O-(phenylmethyl)-α-D-glucopyranosyl]- (9CI)
MF C49 H47 N O7 S

Absolute stereochemistry. Rotation (+).

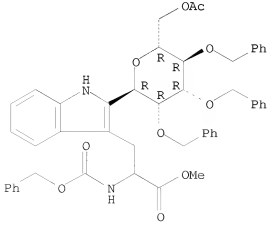


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 12 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Tryptophan, 2-[6-O-acetyl-2,3,4-tris-O-(phenylmethyl)-α-D-mannopyranosyl]-N-[(phenylmethoxy)carbonyl]-, methyl ester (9CI)
MF C49 H50 N2 O10

Absolute stereochemistry.

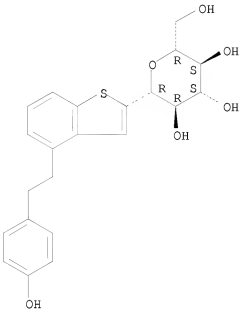


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 12 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN D-Glucitol, 1,5-anhydro-1-C-[4-[2-(4-hydroxyphenyl)ethyl]benzo[b]thien-2-yl]-, (1R)-
MF C22 H24 O6 S

Absolute stereochemistry.

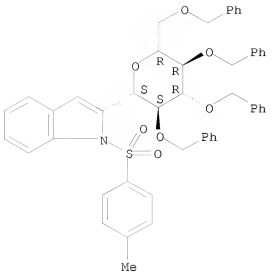


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 12 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-2-[2,3,4,6-tetrakis-O-(phenylmethyl)-β-D-glucopyranosyl]- (9CI)
MF C49 H47 N O7 S

Absolute stereochemistry. Rotation (-).

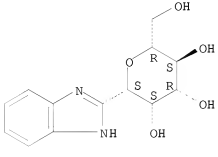


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 12 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN D-Mannitol, 1,5-anhydro-1-C-1H-benzimidazol-2-yl-, (1S)-
MF C13 H16 N2 O5

Absolute stereochemistry. Rotation (+).

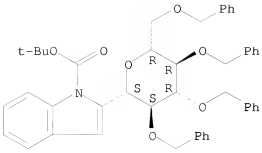


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 12 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 1H-Indole-1-carboxylic acid, 2-[2,3,4,6-tetrakis-O-(phenylmethyl)-β-D-glucopyranosyl]-, 1,1-dimethylethyl ester
MF C47 H49 N O7

Absolute stereochemistry.

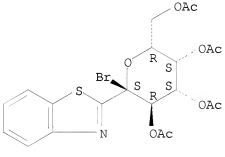


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L8 12 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN α-D-Galactopyranosyl bromide, 1-C-2-benzothiazolyl-,
    2,3,4,6-tetraacetate
MF C21 H22 Br N O9 S

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> l
l IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> s l7 sss full
FULL SEARCH INITIATED 10:26:20 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 10118 TO ITERATE

100.0% PROCESSED 10118 ITERATIONS 171 ANSWERS
SEARCH TIME: 00.00.01

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L9          171 SEA SSS FUL L7

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FILE COVERS 1907 - 17 Dec 2008  VOL 149 ISS 25
FILE LAST UPDATED: 16 Dec 2008  (20081216/ED)

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=> s l9
L10          48 L9

=> s l10 and py<=2004
      25116911 PY<=2004
L11          39 L10 AND PY<=2004

=> s l11 and (diabetes or SGLT)
         151698 DIABETES
            269 SGLT
             29 SGLTS
            282 SGLT
                (SGLT OR SGLTS)
L12           0 L11 AND (DIABETES OR SGLT)

=> s l11 and (diabetes or SLGT)
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              7 SLGT
              2 SLGTS
              8 SLGT
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(SLGT OR SLGTS)
L13 0 L11 AND (DIABETES OR SLGT)

=> b stng
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 13.00 412.70

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL SESSION
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Dec 12, 2008 (20081212/UP).

=> b caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 0.18 412.88

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL SESSION
CA SUBSCRIBER PRICE 0.00 -0.80

FILE 'CAPLUS' ENTERED AT 10:31:24 ON 17 DEC 2008
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FILE COVERS 1907 - 17 Dec 2008 VOL 149 ISS 25
FILE LAST UPDATED: 16 Dec 2008 (20081216/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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=> d l11 1-39 ibib abs

L11 ANSWER 1 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:970879 CAPLUS

DOCUMENT NUMBER: 146:296185
TITLE: Synthetic studies on glycopeptides with C-glycoside linkage
AUTHOR(S): Hara, Osamu; Maeba, Isamu
CORPORATE SOURCE: Faculty of Pharmacy, Meijo University, Japan
SOURCE: Meijo Daigaku Sogo Kenkyusho Kiyo (*2004*), 9, 145-150
CODEN: MDSKF8
PUBLISHER: Meijo Daigaku Sogo Kenkyusho
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
OTHER SOURCE(S): CASREACT 146:296185
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB C2-α-D-mannosyl-L-tryptophan was found in human RNase and occurs in other ≥10,000 proteins having specific peptide sequences. This prompted authors to study the synthesis of amino acid C-glycosides which can be used as biotools. Two synthetic approaches for C-glycosides of amino acids and heterocyclic compds. (used as model compds.) are studied. Addition reaction of sugar lactones with lithiated amino acid alc. derivs. or heterocyclic compds. followed by reduction of the resulting adducts and palladium-catalyzed Heck reaction of 4-iodo- or 4-methoxy-L-alanine derivs. with dihydropyran which is a model compound for glycals were described. For example, C-glycosides of amino acid alcs., i.e. (I) and (II), were prepared by addition reaction of lactones (III; gluco- or manno-configuration) with lithiated amino acid alc. derivs. (IV) or (V) and reduction of the resulting adducts (gluco-VI; Ar = Q, Q1) with Et3SiH in the presence of BF3.OEt2.

L11 ANSWER 2 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1059167 CAPLUS
DOCUMENT NUMBER: 142:22638
TITLE: Antidepressant or food or beverage for antidepression
INVENTOR(S): Kohno, Hiroaki; Kusaka, Hideaki
PATENT ASSIGNEE(S): Kyowa Medex Co., Ltd., Japan; Kyowa Hakko Kogyo Co., Ltd.
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004105753	A1	20041209	WO 2004-JP7768	20040528 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,

EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1629841 A1 20060301 EP 2004-735386 20040528
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

CN 1798557 A 20060705 CN 2004-80014905 20040528

US 20070021355 A1 20070125 US 2005-558706 20051129

PRIORITY APPLN. INFO.: JP 2003-153132 A 20030529
WO 2004-JP7768 W 20040528

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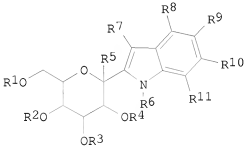


I

AB An antidepressant or a food or beverage for antidepression. The antidepressant (I: wherein R1, R2, R3, and R4 are the same or different and each represents hydrogen, (un)substituted lower alkyl, etc.; R5 represents hydrogen, hydroxy, etc.; R6 represents hydrogen, etc.; R7 represents hydrogen or (un)substituted lower alkyl; and R8, R9, R10, and R11 are the same or different and each represents hydrogen or (un)substituted lower alkyl) or a salt of I is useful for manufacturing foods or beverages for control of antidepression. 2-Amino-3-[2-(α-L-pyranosyl)indole-3-yl]propionic acid is useful for relief of depression induced by the reserpin in male ddY mice.

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:401411 CAPLUS

DOCUMENT NUMBER: 141:54552

TITLE: C-(β-D-Glucopyranosyl) heterocycles as potential glycogen phosphorylase inhibitors

AUTHOR(S): Hadady, Zsuzsa; Toth, Marietta; Somsak, Laszlo

CORPORATE SOURCE: Department of Organic Chemistry, University of Debrecen, Debrecen, H-4010, Hung.

SOURCE: ARKIVOC (Gainesville, FL, United States) (2004), (7), 140-149
CODEN: AGFUAR
URL: http://www.arkat-usa.org/ark/journal/2004/Antus/SA-1024B/1024B.pdf

PUBLISHER: Arkat USA Inc.

DOCUMENT TYPE: Journal; (online computer file)

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:54552

AB Per-O-acetylated and -benzoylated β-D-glucopyranosyl cyanides were transformed into the corresponding 5-(β-D-glucopyranosyl)tetrazoles, 2-(β-D-glucopyranosyl)benzothiazoles, and, via the benzoylated C-(β-D-glucopyranosyl) Et thioformimidate, 2-(β-D-glucopyranosyl)benzimidazoles. Acylation of the tetrazoles, either by acetic or trifluoroacetic anhydride, gave 5-(β-D-glucopyranosyl)-2-methyl- and -2-trifluoromethyl-1,3,4-oxadiazoles, resp. Removal of the protecting groups furnished new inhibitors of glycogen phosphorylase exhibiting inhibitor consts. in the micromolar range.

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:319007 CAPLUS

DOCUMENT NUMBER: 141:346018

TITLE: The diagnostic value of serum concentrations of 2-(α-mannopyranosyl)-L-tryptophan for normal renal function

AUTHOR(S): Yonemura, Katsuhiko; Takahira, Reiko; Yonekawa, Osamu; Wada, Naohiro; Hishida, Akira

CORPORATE SOURCE: Hemodialysis Unit and First Department of Medicine, Hamamatsu University School of Medicine, Hamamatsu, Japan

SOURCE: Kidney International (*2004*), 65(4), 1395-1399
CODEN: KDYIA5; ISSN: 0085-2538

PUBLISHER: Blackwell Publishing, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

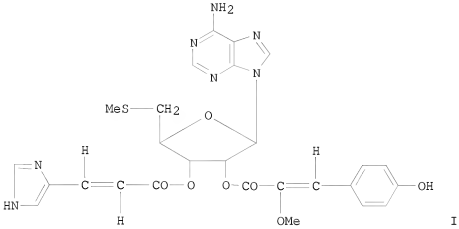
AB Background. We have previously reported that the serum concentration of 2-(α-mannopyranosyl)-L-tryptophan (MPT), tryptophan glycoconjugate, is a more accurate measure of renal function than that of serum creatinine concentration The aim of the present study was to compare the diagnostic value of serum concns. of MPT and creatinine as a measure of normal renal function. Methods. A total of 156 subjects with serum creatinine concentration ≤1.60 mg/dL aged 0 to 88 yr were recruited. Serum concns. of MPT and creatinine, and creatinine clearance calculated by Cockcroft-Galt formula were determined A diagnostic accuracy of serum concns. of MPT and creatinine for normal renal function was analyzed by using receiver-operating characteristics (ROC) curves. In 82 subjects with normal renal function defined as calculated creatinine clearance ≥80 mL/min (aged 6 to 68 yr), the correlations between age and/or urinary creatinine excretion, which is related to muscle mass, and serum concns. of MPT or creatinine, were determined Results. In the ROC curve, the area under the curve (AUC) in serum MPT concentration was significantly greater than that of creatinine (0.855 vs. 0.800, resp., P < 0.001) and the cut-off levels associated with the greatest diagnostic accuracy were 90 ng/mL for serum MPT concentration and 0.70 mg/dL for serum creatinine concentration The sensitivity, specificity, and pos. and neg. predictive values were 69.5%, 85.1%, 83.8%, and 71.6% for serum MPT concentration, and 53.7%, 81.1%, 75.9%, and 61.2% for serum creatinine concentration A close correlation existed between serum creatinine concentration and age (r = 0.798, P < 0.0001) in 23 subjects aged 20 yr or younger. Conversely, serum MPT concentration remained unchanged regardless of age (r = −0.135, P = 0.228). Furthermore, a close correlation existed between serum creatinine concentration and urinary creatinine excretion (r = 0.817, P < 0.0001), but not

between serum MPT concentration and urinary creatinine excretion (r = 0.082, P = 0.461). Conclusion. The concentration of serum MPT is a more reliable diagnostic parameter than that of serum creatinine as a measure of normal renal function, and renal function can be compared in subjects independently of age and muscle mass when serum MPT concentration is measured.
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:306999 CAPLUS
DOCUMENT NUMBER: 140:370480
TITLE: α-C-Mannosyltryptophan is not recognized by conventional mannose-binding lectins
AUTHOR(S): Nishikawa, Toshio; Kajii, Shigeo; Sato, Chihiro; Yasukawa, Zenta; Kitajima, Ken; Isobe, Minoru
CORPORATE SOURCE: Graduate School of Bioagricultural Sciences, Laboratory of Organic Chemistry, Nagoya University, Chikusa, Nagoya, 464-8601, Japan
SOURCE: Bioorganic & Medicinal Chemistry (*2004*), 12(9), 2343-2348
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:370480
AB α-C-Mannosyltryptophan (C-Man-Trp) is a novel, naturally occurring C-linked carbohydrate-protein linkage first found in 1994 from human RNase 2. Since then, a number of C-Man-Trp residue have been found from several important proteins such as interleukin 12β, components of complement system, thrombospondin-1, and erythropoietin receptor, however, the biol. functions have remained unknown even though its biosynthetic pathway has been revealed. In order to find a clue as to the biol. functions, we examined the affinity of C-Man-Trp with conventional mannose lectin such as Con A and mannose-binding lectin (MBL). The affinity of C-Man-Trp with Con A, a typical mannose-binding lectin from plant was examined using a Con A-Sepharose column. Unlike p-nitrophenyl-α-O-Man, C-Man-Trp was not retained on the column. MBL-C, a major mannose-binding lectin purified from mouse serum, did not bind with N-biotinylated C-Man-Trp, judging from ELISA based assay. These results imply that C-Man-Trp may be recognized with the other specific proteins associated with its unknown biol. functions.
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:261218 CAPLUS
DOCUMENT NUMBER: 140:403591
TITLE: Novel amino acid derived natural products from the ascidian Atriolum robustum: Identification and pharmacological characterization of a unique adenosine derivative
AUTHOR(S): Kehraus, Stefan; Gorzalka, Simone; Hallmen, Christian; Iqbal, Jamshed; Mueller, Christa E.; Wright, Anthony D.; Wiese, Michael; Koenig, Gabriele M.
CORPORATE SOURCE: Institute for Pharmaceutical Biology, University of Bonn, Bonn, D-53115, Germany
SOURCE: Journal of Medicinal Chemistry (*2004*), 47(9), 2243-2255

CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Investigation of the methanolic extract of the Australian ascidian Atriolum robustum led to the isolation and characterization of five new amino acid derived structures (I-V). The structures were elucidated employing spectroscopic techniques (NMR, MS, UV, and IR). The absolute stereochem. of I and II was established by chemical degradation, derivatization, and chiral GC-MS anal. Structures IV and V are complex nucleosides containing rare methylthioadenosine and methylsulfinyladenosine moieties, resp. In radioligand binding studies the 5'-deoxy-5'-methylthioadenosine-2',3'-diester IV exhibited affinity for A1 and A3 adenosine receptors with Ki values below 10 μM. Its affinity was somewhat lower for A2A (Ki = 17 μM) and much lower for A2B adenosine receptors. Anal. expts. using capillary electrophoresis showed that compound IV was stable under the conditions of radioligand binding studies. Incubation with carboxylesterase resulted in slow hydrolysis of the adenosine derivative to 5'-deoxy-5'-methylthioadenosine (MTA), which was about 10-fold more potent at adenosine receptors than compound IV. Thus, the 2',3'-diester derivative IV may act as a lipophilic prodrug of MTA in addition to its own adenosine receptor activity. GTP shift expts. indicated that the adenosine derivative was a partial agonist at A1 adenosine receptors of rat brain cortical membranes. Compound IV inhibited cAMP accumulation in Chinese hamster ovary (CHO) cell membranes recombinantly expressing the human A3 adenosine receptor, thus indicating that the adenosine derivative also acted as a partial agonist at A3ARs. Homol. models of the A1 and the A3 adenosine receptors in their putative active and inactive conformations were built and used for docking of the sterically demanding compound IV. It was found that this ligand fit well into the binding pockets of both receptor subtypes because of its highly flexible structure, although in somewhat different binding modes.

REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:859560 CAPLUS
DOCUMENT NUMBER: 140:339581
TITLE: The novel glycoprotein structure; C-mannosyl tryptophan
AUTHOR(S): Manabe, Shino; Ito, Yukishige
CORPORATE SOURCE: RIKEN (The Institute of Physical and Chemical Research), Saitama, 351-0198, Japan
SOURCE: Trends in Glycoscience and Glycotechnology (*2003*), 15(84), 181-196
CODEN: TGGLEE; ISSN: 0915-7352
PUBLISHER: FCCA
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English/Japanese
AB A review. Syntheses of 2-(α-D-mannopyranosyl)-L-tryptophan and analogous glycosyl peptides were reviewed. Glycosylation is one of the most important post- or co-translational modifications of proteins, which affects the biol. activities of the parent proteins by influencing the higher-order structure. This modification has been classified into two subtypes: namely N-linked type and O-linked type. Recently, a highly novel variant of glycoproteins that incorporate a C-glycosylated amino acid was identified in various proteins. The total synthesis of one such C-glycosyl amino acid, namely, C2-α-D-C-mannosylpyranosyl-L-tryptophan and related peptides was successfully achieved. The mannose and tryptophan moieties were connected via a ring opening of benzyl-protected 1,2-anhydro-mannose by a lithiated indole derivative After the functional group conversion and deprotection steps, the glyco-amino acid was synthesized in a concise and stereoselective manner, in high overall yields. Furthermore, intermediate azide acid can serve as a useful building block for peptide elongation. The stereoisomer, C2-α-D-C-glucosylpyranosyl-L-tryptophan was synthesized in a similar way. We describe here the synthesis of C-Man-Trp including by other groups and the possibility of application to clin. methods.
REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:943371 CAPLUS
DOCUMENT NUMBER: 138:354142
TITLE: Multigram scale synthesis of formyl tetra-O-benzyl-β-D-C-glucopyranoside using benzothiazole as a formyl group equivalent
AUTHOR(S): Dondoni, Alessandro; Marra, Alberto
CORPORATE SOURCE: Laboratorio di Chimica Organica, Dipartimento di Chimica, Universita di Ferrara, Ferrara, I-44100, Italy
SOURCE: Tetrahedron Letters (*2002*), Volume Date 2003, 44(1), 13-16
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:354142
AB The addition of 2-lithiobenzothiazole to D-gluconolactone followed by deoxygenation of the resulting ketose affords a mixture of benzothiazolyl α- and β-D-glucopyranoside; treatment of this mixture with sodium methoxide gives the β-anomer from which the title aldehyde is obtained in a pure form by transformation of the benzothiazole ring into the formyl group.

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:862372 CAPLUS
DOCUMENT NUMBER: 138:255431
TITLE: Radical-mediated bromination of carbohydrate derivatives: searching for alternative reaction conditions without carbon tetrachloride
AUTHOR(S): Czifrak, Katalin; Somsak, Laszlo
CORPORATE SOURCE: Department of Organic Chemistry, University of Debrecen, Debrecen, H-4010, Hung.
SOURCE: Tetrahedron Letters (2002), 43(49), 8849-8852
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:255431
AB KBrO3-Na2S2O4 in CH2Cl2-H2O or PhCF3-H2O biphasic solvent systems was applied to the bromination of several monosaccharide derivs. having capto-datively substituted reaction centers. With less reactive compds. neat PhCF3 was shown to be a suitable substitute of the health and environmentally hazardous carbon tetrachloride.
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:463232 CAPLUS
DOCUMENT NUMBER: 139:180254
TITLE: Linear total synthetic routes to β-D-C-(1,6)-linked oligoglucoses and oligogalactoses up to pentaoses by iterative Wittig olefination assembly. [Erratum to document cited in CA137:79152]
AUTHOR(S): Dondoni, Allessandro; Marra, Alberto; Mizuno, Mamoru; Giovannini, Pier Paolo
CORPORATE SOURCE: Laboratorio di Chimica Organica Dipartimento di Chimica, Universita di Ferrara, Ferrara, 44100, Italy
SOURCE: Journal of Organic Chemistry (2002), 67(15), 5444
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB On the 4186, the first footnote should read: Dedicated to Professor Albert I. Meyers, Colorado State University.

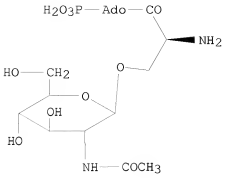
L11 ANSWER 11 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:363347 CAPLUS
DOCUMENT NUMBER: 137:79152
TITLE: Linear Total Synthetic Routes to β-D-C-(1,6)-Linked Oligoglucoses and Oligogalactoses up to Pentaoses by Iterative Wittig Olefination Assembly
AUTHOR(S): Dondoni, Alessandro; Marra, Alberto; Mizuno, Mamoru; Giovannini, Pier Paolo
CORPORATE SOURCE: Laboratorio di Chimica Organica Dipartimento di Chimica, Universita di Ferrara, Ferrara, 44100, Italy

SOURCE: Journal of Organic Chemistry (*2002*), 67(12), 4186-4199
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:79152

AB Two complementary routes, A and B, have been followed for the stepwise iterative assembly of β-D-(1,6)-glucopyranose and galactopyranose residues through methylene bridges. In route A the building block was constituted by 2,3,4-tri-O-benzyl-6-O-tert-butyldiphenylsilyl (O-TBDPS) β-linked galactosylmethylenephosphorane, while in route B the building block was a β-linked formyl C-glycopyranoside with a similar orthogonal protection of hydroxy groups. In route A each cycle consisted of the reaction of the phosphorane building block with a sugar residue bearing a formyl group at the C-5 carbon atom (coupling) and transformation of the O-TBDPS-protected primary alc. into the formyl group (arming). Route A is defined as the aldehyde route. On the other hand, each cycle in route B involved the coupling of the sugar aldehyde building block with a substrate bearing a phosphorus ylide at C-6 and introduction of the phosphonium group in the arming step as a precursor of the ylide functionality. Accordingly, route B is defined as the ylide route. The efficiency of route A proved to be seriously hampered by the 1,2-elimination of BnOH under the basic reaction conditions of the Wittig olefination, giving rise to the formation of substantial amts. of enopyranose. On the other hand, the ylide route B proved to be more efficient since very good yields (70-93%) of the isolated Wittig products were obtained throughout four consecutive cycles. Individual olefins and polyolefins obtained by routes A and B using gluco and galacto substrates were reduced and debenzylated in one pot by H2/Pd(OH)2 to give the corresponding β-D-C-(1,6)-linked oligosaccharides up to the pentaose stage. The latter compds. were fully characterized by high-field NMR spectroscopy (500 MHz).

REFERENCE COUNT: 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 12 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:89047 CAPLUS
DOCUMENT NUMBER: 136:340961
TITLE: Preparation of Glycosylated Amino Acid Derivatives for Glycoprotein Synthesis by In Vitro Translation System
AUTHOR(S): Manabe, Shino; Sakamoto, Kimitoshi; Nakahara, Yoshiaki; Sisido, Masahiko; Hohsaka, Takahiro; Ito, Yukishige
CORPORATE SOURCE: RIKEN (The Institute of Physical and Chemical Research) and CREST, Japan Science and Technology Corporation (JST), Wako-shi, Saitama, 351-0198, Japan
SOURCE: Bioorganic & Medicinal Chemistry (*2002*), 10(3), 573-581
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:340961
GI



I

AB General preparation of glycosylated amino acylated nucleotide (e.g., I) for in vitro peptide synthesis was described. Both O-glycosylated amino acyl nucleotides and C-glycosylated amino acyl nucleotide were synthesized by choosing the appropriate protecting group.
REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:460526 CAPLUS
DOCUMENT NUMBER: 135:227212
TITLE: Total synthesis of α-C-mannosyltryptophan, a naturally occurring C-glycosyl amino acid
AUTHOR(S): Nishikawa, Toshio; Ishikawa, Miyuki; Wada, Kyoko; Isobe, Minoru
CORPORATE SOURCE: Laboratory of Organic Chemistry, School of Bioagricultural Sciences, Nagoya University, Nagoya, 464-8601, Japan
SOURCE: Synlett (*2001*), (Spec. Issue), 945-947
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:227212
AB A stereocontrolled synthesis of a-C-mannosyltryptophan, a new type of glycosyl amino acid, was achieved by Sc(ClO4)3 mediated coupling between α-C-mannosylindole and L-serine-derived 2-aziridinecarboxylate as a key step.
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 14 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:113291 CAPLUS
DOCUMENT NUMBER: 134:351268
TITLE: Tryptophan glycoconjugate as a novel marker of renal function
AUTHOR(S): Takahira, R.; Yonemura, K.; Yonekawa, O.; Iwahara, K.; Kanno, T.; Fujise, Y.; Hishida, A.
CORPORATE SOURCE: First Department of Medicine, Hamamatsu University School of Medicine, Hamamatsu, Japan
SOURCE: American Journal of Medicine (*2001*), 110(3), 192-197
CODEN: AJMEAZ; ISSN: 0002-9343
PUBLISHER: Excerpta Medica, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB PURPOSE: Neither serum creatinine concentration nor creatinine clearance assess renal function accurately. Serum creatinine concentration is affected by muscle mass, and the creatinine clearance overestimates the glomerular filtration rate because of tubular secretion of creatinine. The present study was designed to determine whether serum concns. of 2-(α-mannopyranosyl)-L-tryptophan (MPT), a tryptophan glycoconjugate, can be used as a marker of renal function. METHODS: Clearances of MPT and of inulin were compared in normal rats and in rats with cisplatin-induced acute renal failure. We also compared the clearances of MPT and of creatinine with inulin clearance in 25 patients with chronic renal disease. Serum concns. of MPT and creatinine as a function of MPT clearance were determined in 108 patients with chronic renal disease. RESULTS: There was strong linear correlation between clearances of MPT and inulin in rats ($r = 0.97$) and humans ($r = 0.87$), indicating that renal handling of MPT is similar to that of inulin. In humans, linear regression analyses indicated that MPT was a better indicator of inulin clearance than was creatinine clearance. At the same level of renal function, serum creatinine concns. tended to be lower in patients with less muscle mass (as indicated by a urinary creatinine excretion <1,000 mg in 24 h) than in those who excreted >1,000 mg in 24 h, whereas serum MPT concns. were not affected by creatinine excretion. CONCLUSION: MPT clearance can replace inulin clearance in the clin. setting. The serum MPT concentration is an accurate measure of renal function even in patients with diminished muscle mass, and thus is a better indicator of renal function than is the serum creatinine concentration

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:556555 CAPLUS

DOCUMENT NUMBER: 133:264127

TITLE: The occurrence of the human glycoconjugate C2-α-D-mannosylpyranosyl-L-tryptophan in marine ascidians

AUTHOR(S): Garcia, Angel; Lenis, Luis A.; Jimenez, Carlos; Debitus, Cecile; Quinoa, Emilio; Riguera, Ricardo

CORPORATE SOURCE: Departamento de Quimica Organica Facultad de Quimica e Instituto de Acuicultura, Universidad de Santiago de Compostela, Santiago de Compostela, E-15706, Spain

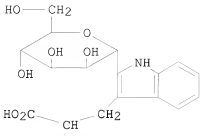
SOURCE: Organic Letters (*2000*), 2(18), 2765-2767
CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



NHR

I R = H
II R = Me

AB The C-glycoconjugate C2-α-D-mannosylpyranosyl-L-tryptophan (I), a metabolite known to be generated in humans through a novel post-translational process, has been isolated from marine ascidians Leptoclinides dubius and Pharyngodictyon cauliflos and its Nα-Me derivative (II) from Ritterella rete.
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 16 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:268413 CAPLUS
DOCUMENT NUMBER: 133:73077
TITLE: Electrospray ionization-tandem mass spectrometry for the analysis of tryptophan derivatives in food
AUTHOR(S): Gutsche, B.; Diem, S.; Herderich, M.
CORPORATE SOURCE: Lehrstuhl fur Lebensmittelchemie, Universitat Wurzburg, Wurzburg, D-97074, Germany
SOURCE: Advances in Experimental Medicine and Biology (*1999*), 467(Tryptophan, Serotonin and Melatonin), 757-767
CODEN: AEMBAP; ISSN: 0065-2598
PUBLISHER: Kluwer Academic/Plenum Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The knowledge about bioactive Trp derivs. in the diet is rather limited. Consequently, the attention was focused on the efficient profiling, i.e. the structure specific detection, of novel tetrahydro-β-carbolines and Trp glycoconjugates in food samples. Applying HPLC-MS/MS for screening and structural characterization, numerous products derived from the reaction of Trp with α-oxo acids and carbohydrates could be identified by neutral loss scanning. Subsequently, product ion expts. followed by the synthesis of the resp. reference compds. accomplished structure elucidation of Trp derivs.
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 17 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:776399 CAPLUS
DOCUMENT NUMBER: 132:293987
TITLE: Total synthesis of novel subclass of glyco-amino acid structure motif; C2-α-L-C-mannosylpyranosyl-L-tryptophan
AUTHOR(S): Manabe, Shino; Ito, Yukishige
CORPORATE SOURCE: The Institute of Physical and Chemical Research, RIKEN, Japan

SOURCE: Tennen Yuki Kagobutsu Toronkai Koen Yoshishu (*1999*), 41st, 139-143
CODEN: TYKYDS
PUBLISHER: Nippon Kagakkai
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

AB It is now widely recognized that attachment of carbohydrate is one of the most important posttranslational modifications which affects their biol. activities by way of controlling higher order structure, stability, immunogenicity, and carbohydrate-protein interaction. In most cases, protein glycosylation can be classified into two major subtypes: O-glycosylation, where an N-acetylgalactosamine residue is linked to the hydroxyl group of either serine or threonine, and N-glycosylation, where a glycan chain is linked via a glycosylamido linkage to an asparagine residue. However, in 1994, a new class of glycoprotein structural motif was identified in human RNase, where a mannose residue is connected to tryptophan via a C-glycosidic linkage. More recently, the same structural motif was found from recombinant human IL12. The total synthesis of this novel type of glyco-amino acid, C2-α-L-C-mannosylpyranosyl-L-tryptophan has been achieved in a stereocontrolled manner. Mannose moiety and L-tryptophanol derivative were connected via epoxide opening reaction. After several functional group transformation, the target mol. was synthesized in a concise manner. With rigorously defined synthetic mol. in hand, 1H NMR anal. cleanly revealed that mannosylated tryptophan itself adopts the 1C4 conformation with the equatorially oriented tryptophan moiety. Peptide elongation reaction was also accomplished by using intermediate azide acid in solution phase. By use of tetramethylfluoroformidium hexafluorophosphate, coupling with tripeptide was achieved in high yield. After selective reduction of azide at N-termini, further peptide elongation was successfully performed to afford the protected hexapeptide sequence, which corresponds to the partial structure of human RNase.

L11 ANSWER 18 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:753585 CAPLUS
DOCUMENT NUMBER: 132:34930
TITLE: HPLC-ESI-MS/MS studies on the involvement of tryptophan in biological glycosylations
AUTHOR(S): Herderich, M.; Gutsche, B.; Diem, S.
CORPORATE SOURCE: Univ. Wurzburg, Wurzburg, Germany
SOURCE: Lebensmittelchemie (*1999*), 53(6), 144
CODEN: LEBEE2; ISSN: 0937-1478
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: German

AB In model reactions between monosaccharides and Trp, up to 4 new Trp glycoconjugates per saccharide were obtained. The structure of the conjugates was determined The involvement of Trp in biol. glycosylations in foods was evidenced by determination of glycoconjugates. The enzymic glycosylation of Trp in the human organism was evidenced by identification of 2-(α-mannopyranosyl)-L-Trp in urine.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 19 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:688053 CAPLUS
DOCUMENT NUMBER: 132:32785
TITLE: Tryptophan glycoconjugates in food and human urine
AUTHOR(S): Gutsche, Birgit; Grun, Christoph; Scheutzow, Dieter;

Herderich, Markus
CORPORATE SOURCE: Lehrstuhl fur Lebensmittelchemie, Universitat Wurzburg, Wurzburg, D-97074, Germany
SOURCE: Biochemical Journal (*1999*), 343(1), 11-19
CODEN: BIJOAK; ISSN: 0264-6021
PUBLISHER: Portland Press Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Evaluating the formation of tryptophan glycoconjugates other than well-established Amadori rearrangement products, HPLC-tandem MS (MS/MS) anal. of human urine collected from several healthy individuals proved the presence of one distinct tryptophan C-glycosyl compound [Horiuchi, Yonekawa, Iwahara, Kanno, Kurihara and Fujise (1994) J. Biochem. (Tokyo) 115, 362-366]. After isolation, unambiguous identification of this novel tryptophan metabolite as 2-(α-mannopyranosyl)-L-tryptophan was achieved by tandem MS combined with NMR spectroscopy including homonuclear COSY, heteronuclear multiple-bond connectivity and 1H-detected heteronuclear multiple-quantum coherence expts. Remarkably, a thorough evaluation of vicinal proton-proton coupling consts. in different solvents and nuclear Overhauser effect expts. demonstrate the predominant axial orientation of the hydroxymethyl group of the hexopyranosyl residue. Likewise this spatial arrangement indicates that the resp. α-anomeric C-mannosylhexopyranose is preferentially adopting a 1C4 conformation in acidic methanol. Whereas only one distinct tryptophan mannoconjugate could be observed in human urine, HPLC-MS/MS anal. of food samples for the first time led to the identification of numerous N1-(β-D-hexopyranosyl)-L-tryptophan, 2-(β-D-hexopyranosyl)-L-tryptophan and 1-(1,2,3,4,5-pentahydroxypent-1-yl)-1,2,3,4-tetrahydro-β-carboline-3-carboxylic acid derivs. derived from the condensation of tryptophan with aldohexoses. Taking into consideration the significant differences between profiles and configurations of tryptophan glycoconjugates originating from dietary sources and human urine, C-2 mannosylation of tryptophan residues [de Beer, Vliegenthart, Loeffler and Hofsteenge (1995) Biochem. 34, 11785-11789] represents a novel enzymic pathway in tryptophan metabolism in humans.

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 20 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:628898 CAPLUS
DOCUMENT NUMBER: 132:23172
TITLE: Total Synthesis of Novel Subclass of Glyco-amino Acid Structure Motif: C2-α-D-C-Mannosylpyranosyl-L-tryptophan
AUTHOR(S): Manabe, Shino; Ito, Yukishige
CORPORATE SOURCE: RIKEN (The Institute of Physical and Chemical Research) and CREST, Japan Science and Technology Corporation (JST), Wako-shi, Saitama, 351-0198, Japan
SOURCE: Journal of the American Chemical Society (*1999*), 121(41), 9754-9755
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Beginning with (L)-tryptophanol and 1,2-anhydro-3,4,6-tri-O-benzyl-β-D-manno-pyranose, title compds. were synthesized in a concise manner, with good stereospecificity. A pentapeptide sequence, protected as the manno-tetrabenzyl derivative, was also

synthesized using solution chemical techniques to establish the feasibility of peptide chain elongation.

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 21 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:288375 CAPLUS
DOCUMENT NUMBER: 131:86723
TITLE: Recombinant human interleukin-12 is the second example of a C-mannosylated protein
AUTHOR(S): Doucey, Marie-Agnes; Hess, Daniel; Blommers, Marcel J. J.; Hofsteenge, Jan
CORPORATE SOURCE: Friedrich Miescher-Institut, Basel, CH-4002, Switz.
SOURCE: Glycobiology (*1999*), 9(5), 435-441
CODEN: GLYCE3; ISSN: 0959-6658
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The β-chain of human interleukin 12 (IL-12) contains at position 319-322, the sequence Trp-x-x-Trp. In human RNase 2 this is the recognition motif for a new, recently discovered posttranslational modification, i.e., the C-glycosidic attachment of a mannosyl residue to the side chain of tryptophan. Anal. of C-terminal peptides of recombinant IL-12 (rHuIL-12) by mass spectrometry and NMR spectroscopy revealed that Trp-319β is (partially) C-mannosylated. This finding was extended by in vitro mannosylation expts., using a synthetic peptide derived from the same region of the protein as an acceptor. Furthermore, human B-lymphoblastoid cells, which secrete IL-12, were found to contain an enzyme that carries out the C-mannosylation reaction. This shows that non-recombinant IL-12 is potentially C-mannosylated as well. This is only the second report on a C-mannosylated protein. However, the occurrence of the C-mannosyl-transferase activity in a variety of cells and tissues, and the presence of the recognition motif in many proteins indicate that more C-mannosylated proteins may be found.

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 22 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:141295 CAPLUS
DOCUMENT NUMBER: 130:207005
TITLE: Novel compound, 2-amino-3-[2-(α-mannopyranosyl)indol-3-yl]propionic acid, its preparation method and the method for inspecting the function of a living body with the compound.
INVENTOR(S): Kohno, Hiroaki; Okabe, Kazuaki; Yonekawa, Osamu; Fujise, Hiroshi; Horiuchi, Kentaro; Adachi, Kyoko; Sano, Hiroshi; Suzuki, Koji
PATENT ASSIGNEE(S): Kyowa Medex Co., Ltd., Japan; Marine Biotechnology Institute Co., Ltd.
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9909411	A1	19990225	WO 1998-JP3671	19980819	<--
W: AU, BG, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
CA 2300913	A1	19990225	CA 1998-2300913	19980819	<--
CA 2300913	C	20070612			
AU 9887471	A	19990308	AU 1998-87471	19980819	<--
EP 1016866	A1	20000705	EP 1998-938893	19980819	<--
EP 1016866	B1	20061025			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY					
AT 343570	T	20061115	AT 1998-938893	19980819	
JP 4180790	B2	20081112	JP 2000-510024	19980819	
US 6610502	B1	20030826	US 2000-486115	20000218	<--
PRIORITY APPLN. INFO.:			JP 1997-224065	A 19970820	
			WO 1998-JP3671	W 19980819	

OTHER SOURCE(S): MARPAT 130:207005

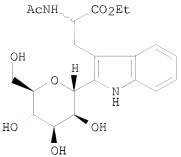
AB A novel in vivo compound, 2-amino-3-[2-(α-mannopyranosyl)indol-3-yl]propionic acid is prepared A method is described for inspecting the function of a living body by quant. determining this compound in a sample taken from a living body. An antibody specifically reactive with this compound is produced. Hybridoma producing this antibody is established. An immunol. method is described for determining this compound in a sample with this antibody.

A process is established for preparing this compound and its derivs. A successful example is shown with the inspection of kidney function by measuring glomerular filtration rate based on the determination of this compound using enzyme immunoassay with monoclonal antibody produced to this compound in hybridoma.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 23 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	1999:59224 CAPLUS
DOCUMENT NUMBER:	130:168628
TITLE:	Synthesis of a α-C-mannosyltryptophan derivative, naturally occurring C-glycosyl amino acid found in human ribonuclease
AUTHOR(S):	Nishikawa, Toshio; Ishikawa, Miyuki; Isobe, Minoru
CORPORATE SOURCE:	Laboratory Organic Chemistry, School Bioagricultural Sciences, Nagoya University, Nagoya, 464, Japan
SOURCE:	Synlett (*1999*), (1), 123-125 CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER:	Georg Thieme Verlag
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 130:168628
GI	



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AB The title compound I was synthesized from D-mannose, based on a novel C-glycosidation with a stannylacetylene, Castro indole synthesis, and amino acid synthesis via dehydrotryptophan.
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 24 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:607670 CAPLUS
DOCUMENT NUMBER: 129:290310
ORIGINAL REFERENCE NO.: 129:59171a,59174a
TITLE: Toward synthesis of novel C-glycoprotein from human RNase; unexpected stereochemistry of epoxide opening reaction by organolithium reagents in the presence of Lewis acid
AUTHOR(S): Manabe, Shino; Ito, Yukishige; Ogawa, Tomoya
CORPORATE SOURCE: The Institute of Physical and Chemical Research (RIKEN), Saitama, 351-0198, Japan
SOURCE: Chemistry Letters (*1998*), (9), 919-920
CODEN: CMLTAG; ISSN: 0366-7022
PUBLISHER: Chemical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:290310
AB A nucleophilic attack of 1,2-anhydro-β-D-mannopyranose by indole-derived organolithium reagents in the presence of BF3·OEt2 afforded isomers of C-aryl glycoside which corresponds to the basic skeleton of C-linked mannosyl tryptophan, which is recently identified in human RNase.
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 25 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:198849 CAPLUS
DOCUMENT NUMBER: 128:257624
ORIGINAL REFERENCE NO.: 128:51003a,51006a
TITLE: Synthesis and pharmacological activities of C-galactosides of furanosesquiterpenes
AUTHOR(S): Chen, Hongming; Li, Shuchun; Wang, Yingye; Mao, Jianmin; Cai, Mengshen; Jia, Zhongjian
CORPORATE SOURCE: School of Pharmaceutical Sciences, Beijing Medical University, Beijing, 100083, Peop. Rep. China
SOURCE: Yaoxue Xuebao (*1997*), 32(10), 750-754
CODEN: YHHPAL; ISSN: 0513-4870
PUBLISHER: Chinese Academy of Medical Sciences, Institute of Materia Media
DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB New C-galactosides were synthesized from 1-O-trifluoroacetyl-2,3,4,6-tetra-O-benzyl-α-D-galactopyranose with 7-methoxy-6-(3-pentenyl)-3,5-dimethylbenzofuran, 7-acetoxy-6-(3-pentenyl)-3,5-dimethylbenzofuran and 1,2-dihydrocacalohastin in the presence of Lewis acid. The results of the pharmacol. test indicated that the sesquiterpenes are calcium antagonists but their C-galactosides are calcium agonists.

L11 ANSWER 26 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:101356 CAPLUS

DOCUMENT NUMBER: 128:267619

ORIGINAL REFERENCE NO.: 128:52903a,52906a

TITLE: Protein C-mannosylation is enzyme-catalyzed and uses dolichyl-phosphate-mannose as a precursor

AUTHOR(S): Doucey, Marie-Agnes; Hess, Daniel; Cacan, Rene; Hofsteenge, Jan

CORPORATE SOURCE: Friedrich Miescher-Institut, Basel, CH-4002, Switz.

SOURCE: Molecular Biology of the Cell (*1998*), 9(2), 291-300
CODEN: MBCEEV; ISSN: 1059-1524

PUBLISHER: American Society for Cell Biology

DOCUMENT TYPE: Journal

LANGUAGE: English

AB C-mannosylation of Trp-7 in human RNase 2 to form C2-α-mannosyltryptophan is a novel kind of protein glycosylation that differs fundamentally from N- and O-glycosylation in the protein-sugar linkage. Previously, the authors established that the specificity determinant of the acceptor substrate, RNase 2, consisted of the sequence W-x-x-W, where the 1st Trp residue became C2-mannosylated. Here, the authors investigated the reaction with respect to the mannosyl donor and the involvement of a glycosyltransferase. C-mannosylation of Trp-7 was reduced 10-fold in CHO Lec15 cells, which were deficient in dolichyl-phosphate-mannose (Dol-P-Man) synthase activity, compared with wild-type cells. This was not a result of a decrease in C-mannosyltransferase activity. Rat liver microsomes were used to C-mannosylate the N-terminal dodecapeptide from RNase 2 in vitro, with Dol-P-Man as the donor. This microsomal mannosyltransferase activity was destroyed by heat and protease treatment, and displayed the same acceptor substrate specificity as the in vivo reaction studied previously. The C-C linkage between the indole and the mannosyl moiety was demonstrated by tandem electrospray mass spectrometry anal. of the product. GDP-Man, in the presence of Dol-P, functioned as a precursor in vitro with membranes from wild-type but not CHO Lec15 cells. In contrast, with Dol-P-Man both membrane prepns. were equally active. It was concluded that a microsomal mannosyltransferase catalyzes the C-mannosylation of Trp-7, and that the minimal biosynthetic pathway can be defined as: Man -> -> GDP-Man -> Dol-P-Man -> (C2-Man-)Trp.

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 27 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:689157 CAPLUS

DOCUMENT NUMBER: 127:356520

ORIGINAL REFERENCE NO.: 127:69755a,69758a

TITLE: C-mannosylation of human RNase 2 is an intracellular process performed by a variety of cultured cells

AUTHOR(S): Krieg, Joachim; Glasner, Wolfgang; Vicentini, Anna; Doucey, Marie-Agnes; Loffler, Andreas; Hess, Daniel;

Hofsteenge, Jan
CORPORATE SOURCE: Friedrich Miescher-Institut, Basel, CH-4002, Switz.
SOURCE: Journal of Biological Chemistry (*1997*), 272(42), 26687-26692
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB C2-α-Mannosyltryptophan was discovered in RNase 2 from human urine, representing a novel way of attaching carbohydrate to a protein. Here, the authors have addressed two questions related to the biosynthesis of this modification: (i) is C-mannosylation part of the normal intracellular biosynthetic route, and (ii) how general is it, i.e. which organisms perform this kind of glycosylation. To answer the first question, RNase 2, which is identical to the eosinophil-derived neurotoxin, was isolated from intracellular stores of cultured human HL-60 cells. The enzyme was C-mannosylated at Trp-7, showing that the modification occurs intracellularly, before secretion of the protein. The second question was investigated by immunol. and chemical anal. of RNase 2 purified from the supernatant of transiently transformed cells from different organisms. This revealed that C-mannosylation occurs in cells from man, green monkey, pig, mouse, and hamster. The observation that pig kidney cells contain the machinery for C-mannosylation of Trp-7 of human RNase 2 but that the homologous RNase from porcine kidney is not a substrate, since it does not contain a tryptophan at position 7, strongly suggests that C-mannosylated proteins other than RNase 2 exist. Recombinant RNase 2 isolated from insect cells, plant protoplasts, and Escherichia coli was not C-mannosylated. These results not only form the basis for further studies on the biochem. aspects of C-mannosylation but also have implications for the choice of cells for production of recombinant glycoproteins.

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 28 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:611532 CAPLUS
DOCUMENT NUMBER: 125:329208
ORIGINAL REFERENCE NO.: 125:61679a,61682a
TITLE: Evaluation of C-(β-D-galactosyl) and C-(2-deoxy-D-lyxo-hex-1-enopyranosyl) (D-galactal type) derivatives as inhibitors of β-D-galactosidase from Escherichia coli
AUTHOR(S): Kiss, Laszlo; Somsak, Laszlo
CORPORATE SOURCE: Department Biochemistry, Lajos Kossuth University, Debrecen, H-4010, Hung.
SOURCE: Carbohydrate Research (*1996*), 291, 43-52
CODEN: CRBRAT; ISSN: 0008-6215
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
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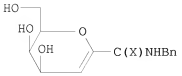


I

AB C-(2-Deoxy-D-lyxo-hex-1-enopyranosyl)formamide was prepared from acetylated C-(β-D-galactopyranosyl)formamide by a radical-mediated bromination-zinc/N-methylimidazole-induced reductive elimination-Zemplen deacetylation reaction sequence. The preparation of acetylated 5-(2-deoxy-D-lyxo-hex-1-enopyranosyl)tetrazole was improved. Me C-(2-deoxy-D-lyxo-hex-1-enopyranosyl)formimidate was transformed by benzylamine into N-benzyl-C-(2-deoxy-D-lyxo-hex-1-enopyranosyl)formamidine and, after hydrolysis to Me C-(2-deoxy-D-lyxo-hex-1-enopyranosyl)formate, into N-benzyl-C-(2-deoxy-D-lyxo-hex-1-enopyranosyl)formamide. A series of C-(β-D-galactopyranosyl) and C-(2-deoxy-D-lyxo-hex-1-enopyranosyl) derivs., e.g. I (X = O, NH), was comparatively investigated for E. coli β-D-galactosidase inhibitory activity. N-Benzyl-C-(2-deoxy-D-lyxo-hex-1-enopyranosyl)formamidine was the best inhibitor and had Ki = 6 μM (on the basis of its free base concentration, Ki = 8.3 nM was obtained). Basicity and hydrophobicity of the aglycon proved to be more important factors for the inhibition than the conformation of the sugar ring.

L11 ANSWER 29 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:555082 CAPLUS
DOCUMENT NUMBER: 125:215110
ORIGINAL REFERENCE NO.: 125:40071a,40074a
TITLE: Protein C-glycosylation
AUTHOR(S): Hofsteenge, Jan; Loeffler, Andreas; Mueller, Dieter R.; Richter, W. J.; de Beer, Tonny; Vliegenthart, Johannes F. G.
CORPORATE SOURCE: Friedrich Miescher-Institut, Basel, CH-4002, Switz.
SOURCE: Techniques in Protein Chemistry VII, [Symposium of the Protein Society], 9th, Boston, July 8-12, 1995 (*1996*), Meeting Date 1995, 163-171. Editor(s): Marshak, Daniel R. Academic: San Diego, Calif. CODEN: 63GTAE
DOCUMENT TYPE: Conference
LANGUAGE: English
AB The authors report here unusual involvement of the tryptophan side chain and the mode of attachment. Properties of such a carbohydrate-protein linkage may be useful for detection in other proteins.

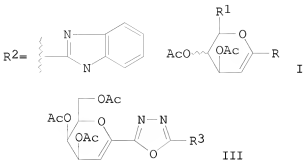
L11 ANSWER 30 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:521115 CAPLUS
DOCUMENT NUMBER: 125:161997
ORIGINAL REFERENCE NO.: 125:30199a,30202a
TITLE: Spectroscopic and protein chemical analyses demonstrate the presence of C-mannosylated tryptophan in intact human RNase 2 and its isoforms
AUTHOR(S): Loeffler, Andreas; Doucey, Marie-Agnes; Jansson, Anita M.; Mueller, Dieter R.; de Beer, Tonny; Hess, Daniel; Meldal, Morten; Richter, Wilhelm J.; Vliegenthart, Johannes F. G.; Hofsteenge, Jan
CORPORATE SOURCE: Friedrich Miescher-Institut, Basel, CH-4002, Switz.
SOURCE: Biochemistry (*1996*), 35(37), 12005-12014 CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Recently, the C-mannosylation of a specific Trp residue in RNase 2 from human urine was reported by the authors. In those studies, identification

of this unusual modification was accomplished by mass spectrometric and NMR spectroscopic anal. of peptide fragments. The evidence for the occurrence of C2-α-mannosyltryptophan [(C2-Man-)Trp] in the intact protein relied exclusively on the detection of the same phenylthiohydantoin derivs. during Edman degradation Here, the authors (1) excluded the possibility that (C2-Man-)Trp arose artificially under the acidic conditions previously employed for protein and peptide isolation and anal., by maintaining the pH at values of >5 throughout these procedures, (2) demonstrated the occurrence of (C2-Man-)Trp in the intact protein, by NMR spectroscopy, (3) showed that (C2-Man-)Trp is not unique for RNase 2 from urine but that it is also present in the enzyme isolated from erythrocytes, and (4) found also that high-mol.-weight isoforms of urinary RNase 2 are C-mannosylated. These observations firmly establish C-mannosylation as a novel way of post-translationally attaching carbohydrate to protein, in addition to the well-known N- and O-glycosylations. Furthermore, the NMR data, in combination with mol. dynamics calcns., indicate that in the native protein the mannopyranosyl residue is in a different conformation than in the glycopeptide or denatured protein, due to protein-carbohydrate interactions.

L11 ANSWER 31 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:776391 CAPLUS
DOCUMENT NUMBER: 123:221664
ORIGINAL REFERENCE NO.: 123:39367a,39370a
TITLE: The Hexopyranosyl Residue That Is C-Glycosidically Linked to the Side Chain of Tryptophan-7 in Human RNase Us Is α-Mannopyranose
AUTHOR(S): de Beer, Tonny; Vliegenthart, Johannes F. G.; Loeffler, Andreas; Hofsteenge, Jan
CORPORATE SOURCE: Bijvoet Center, Utrecht University, Utrecht, 3508 TB, Neth.
SOURCE: Biochemistry (*1995*), 34(37), 11785-9
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Recently, the novel C-glycosidic linkage of a hexopyranosyl residue to the indole ring of tryptophan residue 7 of human RNase Us was reported [Hofsteenge, J., Mueller, D. R., de Beer, T., Loeffler, A., Richter, W. J., & Vliegenthart, J. F. G. (1994) Biochem. 33, 13524-13530]. Identification of this monosaccharide is a prerequisite for studies of its biosynthesis and its biol. relevance. Using vicinal proton-proton coupling consts. and rotating-frame nuclear Overhauser enhancements, we demonstrate that the C-linked substituent is α-mannopyranose. Furthermore, the NMR data indicate that the mannopyranose moiety in a glycopeptide derived from RNase Us adopts several conformations on the NMR time scale.

L11 ANSWER 32 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1994:656205 CAPLUS
DOCUMENT NUMBER: 121:256205
ORIGINAL REFERENCE NO.: 121:46787a,46790a
TITLE: C-Nucleosides. VII. Preparation of C-(2-deoxyhex/pent-1-enopyranosyl) heterocycles
AUTHOR(S): Mahmoud, Saad H.; Somsak, Laszlo; Farkas, Istvan
CORPORATE SOURCE: Dep. Org. Chem., Lajos Kossuth Univ., Debrecen, H-4010, Hung.
SOURCE: Carbohydrate Research (*1994*), 254, 91-104
CODEN: CRBRAT; ISSN: 0008-6215

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 121:256205
GI

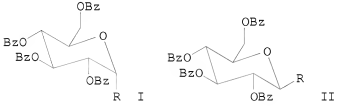


AB Acetylated 1-cyanoglycals I (R = CN, R1 = H, CH2OAc) (II) were prepared by direct elimination of acetic acid from the appropriate acetylated 2,6-anhydrohept/hexononitriles with DBU in aprotic solvents. Hetero-cyclization of the cyano group of II with 2-aminothiophenol led to the corresponding C-nucleosides I (R = R2, R1 = H, CH2OAc). Several 2-(per-O-acetylhexo/pentopyranosyl)benzothiazoles also gave 2-(per-O-acetyl-2-deoxyhex/pent-1-enopyranosyl)benzothiazoles with DBU. 3-(Per-O-acetylhexo/pentopyranosyl)-[1,2,4]triazolo[4,3-a]pyrimidines rearranged with DBU to the corresponding acetylated 2-glycosyl-[1,2,4]triazolo[1,5-a]pyrimidines. By the reaction of 1-cyano-D-galactal with ammonium azide, 2-(3,4,6-tri-O-acetyl-2-deoxy-D-lyxo-hex-1-enopyranosyl)tetrazole was prepared and then transformed with carboxylic acid derivs. into deoxylyxohexenopyranosyloxadiazoles III (R3 = Me, CF3, CH2Cl, CO2Et, CONH2).

L11 ANSWER 33 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1992:235980 CAPLUS
DOCUMENT NUMBER: 116:235980
ORIGINAL REFERENCE NO.: 116:39997a,40000a
TITLE: Synthesis of C-glucopyranosides by reaction of organoaluminum compounds with 2,3,4,6-tetra-O-benzyl-α-D-glucopyranoside
AUTHOR(S): Tolstikov, G. A.; Prokhorova, N. A.; Spivak, A. Yu.; Khalilov, L. M.; Sultanmuratova, V. R.
CORPORATE SOURCE: Inst. Khim., Ufa, USSR
SOURCE: Zhurnal Organicheskoi Khimii (*1991*), 27(10), 2101-6
CODEN: ZORKAE; ISSN: 0514-7492
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 116:235980
AB Reaction of 2,3,4,6-tetra-O-benzyl-α-D-glucopyranosyl bromide with organoaluminum compds. containing various radicals results in C-glycosides in 40-80% yields. The highest stereoselectivity (α:β = 90:10) occurred when the Al compound with Et3Al.

L11 ANSWER 34 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1992:194727 CAPLUS

DOCUMENT NUMBER: 116:194727
ORIGINAL REFERENCE NO.: 116:33021a,33024a
TITLE: Carbon-13 NMR spectra of biologically active compounds. XI. Diastereomeric effects in C-glycosides
AUTHOR(S): Khalilov, L. M.; Spivak, A. Yu.; Vasil'eva, E. V.; Fatykhov, A. A.; Prokhorova, N. A.; Tolstikov, G. A.
CORPORATE SOURCE: Inst. Khim., Ufa, USSR
SOURCE: Khimiya Prirodnykh Soedinenii (*1991*), (3), 368-73
CODEN: KPSUAR; ISSN: 0023-1150
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI

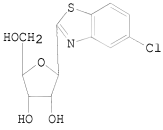


AB HH COSY and CH HET CORR carbon-13 NMR of C-D-glucopyranosides with alkyl, aryl, and alkynyl substituents, e.g. I, II (R = Et, Ph, PhC.tplbond.C) confirmed a diastereomeric effect in the chemical shifts with reference to the 1α- and 1β-stereochem. series.

L11 ANSWER 35 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1984:121509 CAPLUS
DOCUMENT NUMBER: 100:121509
ORIGINAL REFERENCE NO.: 100:18509a,18512a
TITLE: C-Nucleosides, part VI. Preparation of acetylated C-(1-bromo-D-glycosyl) heterocycles and 1-bromo-D-glycosyl cyanides
AUTHOR(S): Somsak, Laszlo; Batta, Gyula; Farkas, Istvan
CORPORATE SOURCE: Dep. Org. Chem., Kossuth Lajos Univ., Debrecen, H-4010, Hung.
SOURCE: Carbohydrate Research (*1983*), 124(1), 43-51
CODEN: CRBRAT; ISSN: 0008-6215
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The reaction of acetylated C-(D-glycosyl) heterocycles and D-glycosyl cyanides with either N-bromosuccinimide in hot CCl4 or Br under irradiation resulted in bromination at the anomeric C atom. The location of the Br substituent and the conformations of these products were determined by NMR spectroscopy. Absolute configurations of the bromo compds. were established.

L11 ANSWER 36 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1982:472697 CAPLUS
DOCUMENT NUMBER: 97:72697
ORIGINAL REFERENCE NO.: 97:12181a,12184a
TITLE: C-nucleosides. IV. Preparation of 2-(polyhydroxyalkyl)-5-chloro- and 2-β-D-glycosyl-5-chlorobenzothiazole derivatives
AUTHOR(S): Szabo, I. F.; Somsak, L.; Batta, Gy.; Farkas, I.

CORPORATE SOURCE: Inst. Org. Chem., Kossuth Lajos Univ., Debrecen, Hung.
SOURCE: Acta Chimica Academiae Scientiarum Hungaricae (*1982*), 109(3), 229-36
CODEN: ACASA2; ISSN: 0001-5407
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB 2-(Polyacetoxyalkyl)- and 2-(per-O-aryl-β-D-glycosyl)-5-chlorobenzothiazoles were prepared by the condensation of appropriate acetylated aldonic nitriles or peracylated β-D-glycosyl cyanides with 2-amino-4-chlorobenzenethiol. These compds. were then deacylated to give crystalline hydroxy derivs., e.g., I. On the basis of NMR data, the β configuration was assigned to the C-nucleoside type compds.

L11 ANSWER 37 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1978:121562 CAPLUS
DOCUMENT NUMBER: 88:121562
ORIGINAL REFERENCE NO.: 88:19093a,19096a
TITLE: Conversion of acetylated glycosyl cyanides into C-glycosyl derivatives of benzothiazole and tetrazole
AUTHOR(S): Farkas, Istvan; Szabo, Ilona F.; Bognar, Rezso
CORPORATE SOURCE: Inst. Org. Chem., L. Kossuth Univ., Debrecen, Hung.
SOURCE: Carbohydrate Research (*1977*), 56(2), 404-6
CODEN: CRBRAT; ISSN: 0008-6215
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 2-β-D-Galactopyranosyl-, -xylopyranosyl-, and -ribopyranosylbenzothiazoles were prepared by treating acylated glycosyl cyanides with 2-H2NC6H4SH and deblocking. Reaction of acylated glycosyl cyanides with NaN3 gave 5-β-D-glycopyranosyltetrazoles.

L11 ANSWER 38 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1963:429716 CAPLUS
DOCUMENT NUMBER: 59:29716
ORIGINAL REFERENCE NO.: 59:5425b-c
TITLE: Interaction of aromatic compounds with α-chymotrypsin
AUTHOR(S): Wallace, Robert A.; Kurtz, Abraham N.; Niemann, Carl
CORPORATE SOURCE: California Inst. of Technol., Pasadena, CA, USA
SOURCE: Biochemistry (*1963*), 2(4), 36
CODEN: BICHAW; ISSN: 0006-2960
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB One hundred thirty-six compds., principally mono-, bi- and tricyclic fused

ring aromatic compds., were examd, as inhibitors of the α-chymotrypsin-catalyzed hydrolysis of acetyl L-valine methyl ester. Their behavior as inhibitors is summarized in the form of 10 postulates which also provide information about the general nature of the active site of the enzyme. One of these compds., benzo[f]quinoline, is the most effective inhibitor discovered to date and another, 9-aminoacridine, offers promise of being an effective tool in the further definition of the structural specificity of α-chymotrypsin.

L11 ANSWER 39 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1963:429715 CAPLUS
DOCUMENT NUMBER: 59:29715
ORIGINAL REFERENCE NO.: 59:5424h,5425a-b
TITLE: The sulfhydryl groups of lactic dehydrogenases
AUTHOR(S): Di Sabato, Giovanni; Kaplan, Nathan O.
CORPORATE SOURCE: Brandeis Univ., Waltham, MA, USA
SOURCE: Biochemistry (*1963*), 2(4), 776-81
CODEN: BICHAW; ISSN: 0006-2960
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Inhibition of enzymic activity of beef heart lactic dehydrogenase, chicken heart lactic dehydrogenase, and chicken muscle lactic dehydrogenase due to binding of p-mercuribenzoate and mercuric chloride to the sulfhydryl groups of the enzymes has been studied. Some 90-97% of the enzymic activity is lost upon binding of 4 moles of mercurial per mole of enzyme of mol. weight 135,000. Reduced 3-acetylpyridine adenine dinucleotide protects the enzymes from binding of p-mercuribenzoate; less but definite protection is shown by oxidized nicotinamide adenine dinucleotide, reduced nicotinamide adenine dinucleotide, and oxidized 3-acetylpyridine adenine dinucleotide; pyruvate and lactate do not show any protection. The optical rotation parameters, fluorescence, sedimentation constant, and immunologic properties of the enzymes bound to mercurials are the same as for the native enzymes. Inhibition is also obtained with methyl mercuric iodide. These data provide evidence for the involvement of the sulfhydryl groups of lactic dehydrogenases in the mechanism of action of these enzymes and for the fact that the subunits of the enzymes can operate independently from each other.

=> d his

(FILE 'HOME' ENTERED AT 10:20:10 ON 17 DEC 2008)

FILE 'REGISTRY' ENTERED AT 10:20:26 ON 17 DEC 2008
L1 SCREEN 1947 AND 2007
L2 STRUCTURE UPLOADED
L3 QUE L2 AND L1
L4 1 S L3 SAM SSS
L5 19 S L3 FULL SSS

FILE 'CAPLUS' ENTERED AT 10:21:47 ON 17 DEC 2008
L6 1 S L5

FILE 'MARPAT' ENTERED AT 10:22:31 ON 17 DEC 2008

FILE 'REGISTRY' ENTERED AT 10:25:14 ON 17 DEC 2008
L7 STRUCTURE UPLOADED
L8 12 S L7 SSS SAM
L9 171 S L7 SSS FULL

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     FILE 'CAPLUS' ENTERED AT 10:26:26 ON 17 DEC 2008
L10          48 S L9
L11          39 S L10 AND PY<=2004
L12           0 S L11 AND (DIABETES OR SGLT)
L13           0 S L11 AND (DIABETES OR SLGT)

     FILE 'STNGUIDE' ENTERED AT 10:29:21 ON 17 DEC 2008

     FILE 'CAPLUS' ENTERED AT 10:31:24 ON 17 DEC 2008

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   113.97     526.85

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                   -31.20     -32.00

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STN INTERNATIONAL SESSION SUSPENDED AT 10:31:50 ON 17 DEC 2008

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PASSWORD:
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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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L2             STRUCTURE UPLOADED
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L7              STRUCTURE UPLOADED
L8           12 S L7 SSS SAM
L9          171 S L7 SSS FULL

     FILE 'CAPLUS' ENTERED AT 10:26:26 ON 17 DEC 2008
L10          48 S L9
L11          39 S L10 AND PY<=2004
L12           0 S L11 AND (DIABETES OR SGLT)
L13           0 S L11 AND (DIABETES OR SLGT)

     FILE 'STNGUIDE' ENTERED AT 10:29:21 ON 17 DEC 2008

     FILE 'CAPLUS' ENTERED AT 10:31:24 ON 17 DEC 2008

     FILE 'MARPAT' ENTERED AT 10:59:00 ON 17 DEC 2008
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L15           8 S L9 SSS FULL

     FILE 'CAPLUS' ENTERED AT 11:00:48 ON 17 DEC 2008
L16           8 S L15
L17           6 S L16 AND PY<=2004

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        151964 SYNDROME
         19702 SYNDROMES
        162678 SYNDROME
                 (SYNDROME OR SYNDROMES)
       1730716 X
          8723 SYNDROME X
                 (SYNDROME(W)X)
          1105 SGLT?
L18          2 L10 AND (DIABETES OR (SYNDROME X) OR SGLT?)

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L18  ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2005:1004759  CAPLUS
DOCUMENT NUMBER:          143:306496
TITLE:                    Preparation of glucopyranose compounds containing
                          fused heterocycle moiety as SGLT inhibitors
INVENTOR(S):              Fushimi, Nobuhiko; Fujikura, Hideki; Isaji, Masayuki
PATENT ASSIGNEE(S):       Kissei Pharmaceutical Co., Ltd., Japan
SOURCE:                   PCT Int. Appl., 106 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.            KIND   DATE        APPLICATION NO.          DATE
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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

AU	2005219777	A1	20050915	AU 2005-219777		20050303
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EP	1724277	A1	20061122	EP 2005-720423		20050303
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IN	2006DN05058	A	20070824	IN 2006-DN5058		20060901
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NO	2006004412	A	20061204	NO 2006-4412		20060929
PRIORITY APPLN. INFO.:				JP 2004-61429	A	20040304
				WO 2005-JP4152	W	20050303

OTHER SOURCE(S): MARPAT 143:306496
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [one of R1 and R4 represents II; the other represents H, OH, halo, etc.; R5, R6 = H, OH, halo, etc.; Q = alkylene, alkenylene, alkynylene, etc.; ring A = aryl, heteroaryl; R2, R3 = H, OH, halo, etc.;, A1 = O, S, NR9; R9 = H, alkyl; A2 = N, CH; G = III, etc.; E1 = H, F, OH; E2 = H, F, Me, etc.] were prepared For example, treatment of 2,3,4,6-tetra-O-benzyl-1-[4-(2-phenylethyl)benzo[b]thiophen-2-yl]-D-glucopyranose, e.g., prepared from 1-bromo-3-fluorobenzene in 6 steps, with triethylsilane in the presence of BF3·OEt2 followed by debenzylation using ethanethiol and BF3·OEt2 gave 2-(β-D-glucopyranosyl)-4-(2-phenylethyl)benzo[b]thiophene (IV). In *SGLT1* (sodium dependent glucose transporter-1) inhibition assays, compound IV exhibited the IC50 value of 220 nM. Compds. I are claimed useful for the treatment of *diabetes*, obesity, etc.
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:277485 CAPLUS
DOCUMENT NUMBER: 142:477952
TITLE: Kinetic and crystallographic studies on 2-(β-D-glucopyranosyl)-5-methyl-1, 3, 4-oxadiazole, -benzothiazole, and -benzimidazole, inhibitors of muscle glycogen phosphorylase b. Evidence for a new binding site
AUTHOR(S): Chrysina, Evangelia D.; Kosmopoulou, Magda N.; Tiraidis, Constantinos; Kardakaris, Rozina; Bischler, Nicolas; Leonidas, Demetres D.; Hadady, Zsuzsa; Somsak, Laszlo; Docsa, Tibor; Gergely, Pal; Oikonomakos, Nikos G.

CORPORATE SOURCE: Institute of Organic and Pharmaceutical Chemistry, The National Hellenic Research Foundation, Athens, 11635, Greece

SOURCE: Protein Science (2005), 14(4), 873-888
CODEN: PRCIEI; ISSN: 0961-8368

PUBLISHER: Cold Spring Harbor Laboratory Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB In an attempt to identify leads that would enable the design of inhibitors with enhanced affinity for glycogen phosphorylase (GP), that might control hyperglycemia in type 2 *diabetes*, three new analogs of β-D-glucopyranose, 2-(β-D-glucopyranosyl)-5-methyl-1, 3, 4-oxadiazole, -benzothiazole, and -benzimidazole were assessed for their potency to inhibit GPb activity. The compds. showed competitive inhibition (with respect to substrate Glc-1-P) with Ki values of 145.2 (±11.6), 76 (±4.8), and 8.6 (±0.7) μM, resp. In order to establish the mechanism of this inhibition, crystallog. studies were carried out and the structures of GPb in complex with the three analogs were determined at high resolution (GPb-methyl-oxadiazole complex, 1.92 Å; GPb-benzothiazole, 2.10 Å; GPb-benzimidazole, 1.93 Å). The complex structures revealed that the inhibitors can be accommodated in the catalytic site of T-state GPb with very little change of the tertiary structure, and provide a rationalization for understanding variations in potency of the inhibitors. In addition, benzimidazole bound at the new allosteric inhibitor or indole binding site, located at the subunit interface, in the region of the central cavity, and also at a novel binding site, located at the protein surface, far removed (.apprx. 32 Å) from the other binding sites, that is mostly dominated by the nonpolar groups of Phe202, Tyr203, Val221, and Phe252.

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> logoff hold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	42.10	634.83
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-8.00	-40.00

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 11:38:34 ON 17 DEC 2008